1. (Amended) A compound of the formula

$$(Y)_p$$
 $N-(R_1)O$
 $N-(R_1)O$

wherein

X is -O-, -S-, -NH-, $[-N(R_2)]$ or $-N(R_2)$;

 R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3 - C_{10})cycloalkyl, aroyl, (C_2 - C_{11})alkanoyl, and phenylsulfonyl groups; aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

 $[(R_1) \text{ is } R_{20}, R_{21}, \text{ or } R_{22}, \text{ wherein:}$

 R_{20} is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C \equiv C-CH_2-$

the -CH=CH- bond being cis or trans;

 R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1 - C_6 linear alkyl group, phenyl group or

where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

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-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, $\underline{\text{or}}$ -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

alkyl is lower alkyl; aryl is phenyl or

$$R_5$$

where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

$$- \boxed{\mathbb{Q}_3}$$

Q₃ is -O-, -S-, -NH-, <u>or</u> -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

 R_7 is hydrogen, lower alkyl, or [alkanoyl] <u>acyl</u>;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

 $[C_1=14\ C_4]\ \underline{C_1-C_4}$ alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy,

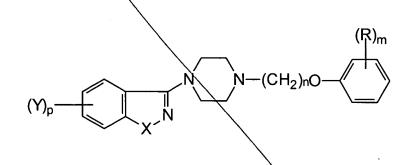
or -COOR₂₃ where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, $[R_1 \text{ is } R_{20}]$ R is H, and m=1;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof.

25. (Amended) A compound of the formula:



wherein

X is -O-, -S-, -NH-, $[-N-R_2]$ or $-N(R_2)$;

p is 1 or 2;

Y is hydrogen, Cl, Br, or F when p is 1;

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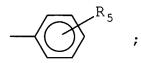
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X is lower alkoxy[or halogen] when p is 2 and X is -O-;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 C_{10})cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

26. (Amended) A compound of the formula:

$$(Y)_p$$
 $N-(CH_2)_nO$

wherein X is -O-;

p is 1 or 2;

Y is hydrogen, hydroxy, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C_1 - C_3 alkyl, C_1 - C_3 alkoxy, hydroxyl, acyl, $(C_2$ - $C_{11})$ alkanoyl, Cl, F, Br, I, amino, C_1 - C_3 mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl,

chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃ where R₂₃ is

 C_1 - C_4 alkyl;

or a pharmaceutically acceptable acid addition salt thereof.

2\(\text{(Amended)}\) A compound of the formula:

$$(R)_m$$
 $N-(CH_2)_{nO}$

wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C_1 - C_3 alkyl, C_1 - C_3 alkoxy, hydroxyl, acyl, $(C_2$ - $C_{11})$ alkanoyl, Cl, F, Br, I, amino, C_1 - C_3 mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl,

chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃ where R₂₃ is

 C_1 - C_4 alkyl;

with the exclusion of compounds wherein R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

28. (Amended) A compound of the formula:

wherein X is -NH-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

$$N-(CH_2)_{nO}$$

wherein X is $-\dot{N}-R_2$;

p is 1 [or 2];

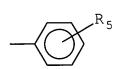
Y is hydrogen, Cl, Br, or F[, when p is \];

[Y is lower alkoxy or halogen when p is 2;]

trifluoromethyl, or trifluoromethoxy;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 C_{10})cycloalkyl, aroyl, (C_2-C_{11}) [aroyl,] alkanoyl, and phenylsulfonyl groups; aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I,

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amino, C_1 - C_3 mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alky\is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, ox 3;

or a pharmaceutically acceptable acid addition salt thereof.

30. (Amended) A pharmaceutical composition, which comprises a compound of the formula:

$$(N)_{p}$$

$$(R)_{m}$$

$$(R)_$$

wherein

 $X \text{ is -O-, -S-, -NH-, or -N}(R_2);$

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

(C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where ary is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

 $[(R_1) \text{ is } R_{20}, R_{21}, \text{ or } R_{22}, \text{ wherein:}$

 R_{20} is -(CH₂)_n-, where] h is 2, 3, 4 or 5;

 $[R_{21} is$

- CH_2 -C=CH- CH_2 -,

 $-CH_2-C \equiv C-CH_2-$

 $-CH_2-CH=CH-CH_2-CH_2-$

-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C\equiv C-CH_2-$

the -CH=CH- bond being cis or trans;

 R_{22} iS R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted

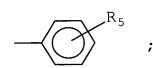
by at least one C₁-C₆ linear alkyl group, phenyl group or

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where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] $-C(=O)-alkyl, \quad -C(=O)-O-alkyl, \quad -C(=O)-aryl, \quad -C(=O)-heteroaryl, or$ $-CH(OR_7)-alkyl[,]; [-C(=W)-alkyl, \quad -C(=W)-aryl, or -C(=W)-heteroaryl;]$

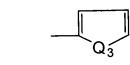
alkyl is lower alkyl; aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

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 Q_3 is $-O_7$, -S-, -NH-, <u>or</u> -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

 R_7 is hydrogen, lower alkyl, or $[(C_2-C_{11})$ alkanoyl] <u>acyl</u>;

[R₈ is lower alkyl;

R₀ is hydroxy, lower alkoxy, or -NHR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

 C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, $[R_1 \text{ is} \ R_{20}]$ R is H, and m=1;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

31. (Amended) An antipsychotic composition, which comprises a compound of the formula:

R4 Cont $N-(R_1)nO$

wherein

X is -O-, -S-, -NH-, or -N(R_2);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

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Y is lower alkoxy when p is 2 and X is -O-;

 $[(R_1)]$ is R_{20} , R_{21} , or R_{22} , wherein:

 R_{20} is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

 $[R_{21}]$ is

 $-\dot{C}H_2$ -CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

 $-CH_2-CH=CH_2-CH_2-CH_2-$

-CH₂-CH₂-CH

CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2$ -, or

 $-CH_2-CH_2-C \equiv C-CH_2-$

the -CH=CH- bond being ais or trans;

 R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1 - C_6 linear alkyl group, phenyl group or

lower alkyleneyl $(Z_1)_p$

where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, a p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] $-C(=O)-alkyl, \quad -C(=O)-alkyl, \quad -C(=O)-aryl, \quad -C(=O)-heteroaryl, \underline{or}$ $-CH(OR_7)-alkyl[,]; \quad [-C(=W)-alkyl, \quad -C(=W)-aryl, \quad or \quad -C(=W)-heteroaryl;]$

alkyl is lower alkyl;

aryl is phenylor

$$R_5$$

where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

Q₃ is -O-, -S-, -NH-, <u>or</u> -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

 R_7 is hydrogen, lower alkyl, or [(C_2 - C_{11}) alkanoyl] <u>acyl</u>;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

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 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl, -C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃ where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1; [all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

32. (Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:

$$(Y)_p$$
 $N-(R_1)O$
 $(R)_m$
 N

$$(R)_m$$
 $N-(CH_2)_nO$

wherein

X is -O-, -S-, -NH-, or -N(R);

 R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, C_3 -

 C_{10})cycloalkyl, aroyl, $(C_2-\grave{C}_{(1)})$ alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

 $[(R_1) \text{ is } R_{20}, R_{21}, \text{ or } R_{22}, \text{ wherein:}$

 R_{20} is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

IR₂₁ is

 $-CH_2$ -CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-,$

-CH₂-CH=CH-CH₂-CH₂-,

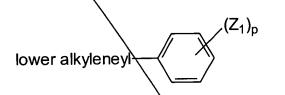
-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C\equiv C-CH_2-$

the -CH=CH- bond being cis or trans;

 R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1 - C_6 linear alkyl group, phenyl group or



where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

alkyl is lower alkyl;

aryl is phenyl or

$$R_5$$

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where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



 Q_3 is -O-, -S-, -NH-, or -CH $\stackrel{\searrow}{=}$ N-

[W is CH₂ or CHR₈ or N-R₉;]

 R_7 is hydrogen, lower alkyl, or $[(C_2-C_1)]$ alkanoyl] <u>acyl</u>;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, $[R_1 \text{ is } R_{20}]$ R is H, and m = 1;

der the transport of th

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

33. (Amended) An analgesic composition, which comprises a compound of the

formula:

wherein

$$(R)_{p}$$

$$(R)_{m}$$

$$(R)_$$

24 By cont R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where anyl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

 $[(R_1) \text{ is } R_{20}, R_{21}, \text{ or } R_{22}, \text{ wherein:}$

 R_{20} is -(CH₂)_n-, where n is 2, 3, 4 or 5;

 $[R_{21} is$

 $-CH_2-CH=CH-CH_2-$

 $-CH_2-C \equiv C-CH_2-,$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C \equiv C-CH_2-$

the -CH=CH- bond being cis or trans;

 R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted

by at least one C₁-C₆ linear alkyl group, phenyl group or

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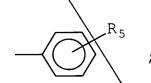
where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

alkyl is lower alkyl; aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;



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 Q_3 is -O-, -S-, -NH-, <u>or</u> -CH=N-;

[W\is CH2 or CHR8 or N-R9;]

 R_7 is hydrogen, lower alkyl, or $[(C_2-C_{11})$ alkanoyl] <u>acyl</u>;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl or - \dot{C} (=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, $[R_1 \text{ is } R_{20}]$ R is H, and m=1;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

and a pharmaceutically acceptable carrier therefor.

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34. (Amended) A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a [compound] composition as claimed in claim 33.

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Please add the following new claims:

46.\ A compound of the formula

$$N-(R_1)$$

wherein

X is -O-, -S-, -NH-, or -N(R_2)

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 C_{10})cycloalkyl, aroyl, $(C_2 - C_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when h is 2 and X is -O-;

 (R_1) is

-CH₂-CH=CH-CH₂-,

 $-CH_2-C = C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $-CH_2 CH_2 - C = C - CH_2 -$

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

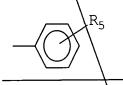
aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

 $-CH(OR_7)$ -alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



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where On is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₀ is hydroxy, lower alkoxy, or -NHR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R_{23} is C_1 - C_4 alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof.

47. A compound as claimed in claim 46, wherein X is -O-, -S-, or -NH-.

48. A compound as claimed in claim 46, wherein Y is hydrogen, chlorine, bromine, or

fluorine.

9. A compound as claimed in claim 6, wherein n is 2, 3, or 4.

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- 59. A pharmaceutical composition, which comprises a compound as claimed in claim
 46, and a pharmaceutically acceptable carrier therefor.
- 60. An antipsychotic composition which comprises a compound as claimed in claim
 46, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.
- 61. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 46.
- 62. An analgesic composition which comprises a compound as claimed in claim 46, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.
- 63. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 46.
- 64. The compound of claim 46, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

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66. A compound of the formula

$$(Y)_p$$
 $N-(R_1)-O$

wherein

<u>X is -O-, -S-, -NH-, or -N(R_2);</u>

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-

 (R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1 - C_6 linear alkyl group, phenyl group or

lower alkyleneyl—
$$(Z_1)_p$$

where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

 R_{20} is -(CH₂)_n-, where n is 2, 3, 4 or 5;

 R_{21} is

-CH₂-CH=CH-CH₂

 $-CH_2-C \equiv C-CH_2-$

-CH₂-CH=CH-CH₂

-CH₂-CH₂-CH=CH-CH₂-,

 $\underline{-CH_2-C} \equiv C-CH_2-CH_2-, \text{ or }$

 $\underline{-CH_2-CH_2-C} \equiv C-CH_2-,$

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitrò, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-o-alkyl, -C(=O)-heteroaryl,

 $-CH(OR_7)$ -alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

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where alkyl is lower alkyl;

aryl is phenyl or

$$R_5$$

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is

where Q_3 is -O-, -S-, -NH-, or -CH=N

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

 $\underline{R_9}$ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃ where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof.

- 67. A compound as claimed in claim 66, wherein X is -O-, -S-, or -NH-.
- 68. A compound as claimed in claim 68 wherein Y is hydrogen, chlorine, bromine, or fluorine.
 - 69. A compound as claimed in claim 66, wherein n is 2, 3, or 4.
 - 70. A compound as claimed in claim 66, wherein X is -O-.
 - 71. A compound as claimed in claim 66, wherein X is -S-.
 - 72. A compound as claimed in claim 66, wherein X is -NH-.
 - 73. A compound as claimed in claim 66, wherein X is $-N(R_2)$.



74. A compound as claimed in claim 66, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, acyl, C₁-C₃ monoalkylamino, acylamino, -NO₂-, -OCF₃, -CF₃; and n is 2, 3, or 4.

- 75. A compound as claimed in claim 74, wherein the substituent Y is in the 5- or 6-position.
 - 76. A compound as claimed in claim 75, wherein m is 2.
 - 77. A compound as claimed in claim 75, wherein n is 3.
 - 78. A compound as claimed in claim 75, wherein p is 1.
- 79. A pharmaceutical composition, which comprises a compound as claimed in claim 66, and a pharmaceutically acceptable carrier therefor.
- 80. An antipsychotic composition which comprises a compound as claimed in claim
 66, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.
- 81. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 66.

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- 82. An analgesic composition which comprises a compound as claimed in claim 66, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.
- 83. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 66.
- 84. The compound of claim 66, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.
- 85. The compound of claim 84, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

5 Ub 111) 86. A pharmaceutical composition, which comprises a compound of the formula

$$(Y)_p$$
 $N-(R_1)-O$

wherein

X is -O-, -S-, -NH-, or -N(R_2);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 C_{10})cycloalkyl, aroyl, $(C_2-\dot{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2\and X is -O-;

 (R_1) is

-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

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\CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C = C-CH_2-CH_2-$, or

-CH\-CH₂-C≡C-CH₂-,

the -CN=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-o-alkyl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(= \mathbb{W})-alkyl, -C(= \mathbb{W})-aryl, or -C(= \mathbb{W})-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

- R_5

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower d'alkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is

 Q_3

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where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy lower alkoxy, or -NHR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

all geometric, optical and steredisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, and a pharmaceutically acceptable carrier therefor.

A pharmaceutical composition, which comprises a compound of the formula 87.

 $(Y)_p$ $N-(R_1)-O$

wherein

X is -O-, -S-, -NH-, or - $N(R_2)$;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 C_{10})cycloalkyl, aroyl, C_2 - C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

 (R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1 - C_6 linear alkyl group, phenyl group or

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$$||(Z_1)_p||$$
 lower alkyleneyl— ;

where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

 R_{20} is -(CH₂)_n-, where n is 2, 3, 4 or 5;

 R_{21} is

-CH₂-CH=CH²-CH₂-,

 $-CH_2-C \equiv C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH\2-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $\underline{-CH_2-CH_2-C} \equiv C-CH_2-,$

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -O(=O)-heteroaryl,

 $-CH(OR_7)$ -alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

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where alkyl is lower alkyl;

arxl is phenyl or

$$R_5$$

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

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m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃ where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. An antipsychotic composition, which comprises a compound of the formula

$$(Y)_p$$
 $N-(R_1)-O$
 $N-(R_1)$

wherein

<u>X is -O-, -S-, -NH-, or -N(R_2);</u>

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 C_{10})cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsylfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

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Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

 (R_1) is

 $-CH_2$ -CH=CH-CH₂-,

-CH₂-C\≡C-CH₂-,

-CH₂-CH₂-CH₂-CH₂-,

 $-CH_2-C = C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C \equiv C-CH_2-$

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

$$R_5$$

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is

$$-$$
Q $_{3}$

where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₃;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NNR₁₀; and

 R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R_{23} is C_1 - C_4 alkyl;

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all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

89. An antipsychotic composition, which comprises a compound of the formula

$$N - (R_1) - O$$

wherein

X is -O-, -S-, -NH-, or - $N(R_2)$;

 R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3 - C_{10})cycloalkyl, aroyl, (C_2 - C_{11})alkanoyl, and phenylsulfonyl groups; aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is O-;

 (R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at

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\ least one C₁-C₆ linear alkyl group, phenyl group or

$$[Z_1)_p$$
lower alkyleneyl—

where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

 R_{20} is -(CH₂)_n-, where n is 2, 3, 4 or 5;

 R_{21} is

-CH₂-CH=CH-CN₂-,

 $-CH_2-C = C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-

 $-CH_2-C \equiv C-CH_2-CH_2$ -, or

 $\underline{-CH_2-CH_2-C} \equiv C-CH_2-,$

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-o-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

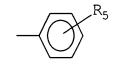
-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(\neq W)-heteroaryl;

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where alkyl is lower alkyl;

ary\is phenyl or

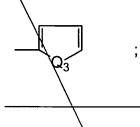


where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH $\stackrel{>}{=}$ N-;

W is CH₂ or CHR₈ or N-R₉;

 R_7 is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

964 565 m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃ where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

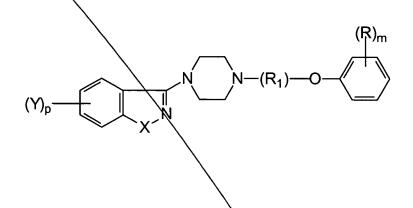
and a pharmaceutically acceptable carrier therefor.

- 90. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a composition as claimed in claim 88.
- 91. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a composition as claimed in claim 89.
 - 92. An analgesic composition, which comprises a compound of the formula

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יינה ויויה איינה ווייה ווייה ווייה און שנייה יווי אוויים יוויים און שניים ווייה ווויים ווויים ווויים ווויים ו הוו אן איים או אונים אווים איים או איים אוויים און איים אוויים אווים אווים אוויים אוויים אוויים אוויים אוויים א wherein

X is -O-, -S-, -NH-, or - $N(R_2)$;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

 \dot{C}_{10})cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethy), nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

 (R_1) is

-CH₂-CH=CH-CH₂-,

 $-CH_2-C\equiv C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C \equiv C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C \equiv C-CH_2-$

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl,

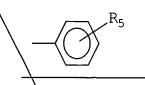
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 $\c C(=O)$ -alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-C(W)-alkyl, -C(W)-alkyl, -C(W)-aryl, or -C(W)-heteroaryl;

where alky\is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is

$$Q_3$$

where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH2 or CHR8 or N-R9;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

$_{\Sigma}C(=O)$ -aryl, or -C(=O)-heteroaryl,

where aryland heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R_{23} is C_1 - C_4 alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

and a pharmaceutically acceptable carrier therefor.

93. An analgesic composition, which comprises a compound of the formula

wherein

X is $-O_{-}$, $-S_{-}$, $-NH_{-}$, or $-N(R_{2})$;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

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C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at

least one C₁-C₆ linear alkyl group, phenyl group or

where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

 R_{20} is -(CH₂)_n-, where n is 2, 3, 4 or 5

 R_{21} is

-CH₂-CH=CH-CH₂-,

 $-CH_2-C = C-CH_2-$

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

 $-CH_2-C = C-CH_2-CH_2-$, or

 $-CH_2-CH_2-C = C-CH_2-,$

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the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

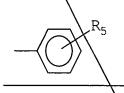
aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-o-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

 $-CH(OR_7)$ -alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is

$$Q_3$$

where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=0)-aryl, or -C(=0)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, $C_1-C_4 \text{ alkyl, chlorine, fluorine, bromine, iodine, cyano, } C_1-C_4 \text{ alkoxy, or -COOR}_{23}$ where R_{23} is C_1-C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

and a pharmaceutically acceptable carrier therefor.

- 94. A method of alleviating pain, which comprises administering to a mammal a painrelieving effective amount of a composition as claimed in claim 92.
- 95. A method of alleviating pain, which comprises administering to a mammal a painrelieving effective amount of a composition as claimed in claim 93.

96. A compound of the formula

wherein

<u>X is -O-, -S-, -NH-, or -N(R_2);</u>

 R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3 - C_{10})cycloalkyl, aroyl, (C_2 - C_{11})alkanoyl, and phenylsulfonyl groups; aryl is as defined hereinafter;

p is 1 or 2;

n is 2, 3, 4 or 5;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,

-C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl; -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

alkyl is lower alkyl;

aryl is phenyl or

$$R_5$$

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



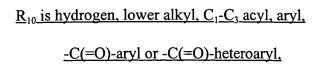
 Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

 R_8 is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and



where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃ where R_{23} is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

- all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.
- 97. A compound as claimed in claim %, wherein X is -O-, -S-, or -NH-.
- 98. A compound as claimed in claim 96, wherein Y is hydrogen, chlorine, bromine, or fluorine.
 - 99. A compound as claimed in claim 96, wherein n is 2, 3, or 4.

	100. A compound as claimed in claim 96, wherein X is -O
a^4	101. A compound as claimed in claim 96, wherein X is -S
	102. A compound as claimed in claim 96, wherein X is -NH
	103. A compound as claimed in claim 96, wherein X is -N(R ₂).
	104. A compound as claimed in claim %, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F,
509 11 C13	-CF ₃ ; R is selected from the group consisting of hydrogen, C ₁ -C ₃ alkyl, C ₁ -C ₃ alkoxy, -OH, Cl, F,
un, derth all all den than	Br, I, acyl, C ₁ -C ₃ monoalkylamino, acylamino, -NO ₂ -, -OCF ₃ , -CF ₃ ; and n is 2, 3, or 4.
	105. A compound as claimed in claim 104, wherein the substituent Y is in the 5- or 6-position.
	<u>position.</u>
ינה יינה מיינה מיינה הולו להינול להינול מינוף	106. A compound as claimed in claim 105, wherein m is 2.
	107. A compound as claimed in claim 105, wherein n is 3.
	108. A compound as claimed in claim 105, wherein p is 1.

109. A pharmaceutical composition, which comprises a compound as claimed in claim 96, and a pharmaceutically acceptable carrier therefor.

Q 4

- 110. An antipsychotic composition which comprises a compound as claimed in claim

 96, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.
- 111. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 96.
- 112. An analgesic composition which comprises a compound as claimed in claim 96, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.
- 113. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 96.
- 114. The compound of claim %, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.